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(54) Title: **COMPOSITIONS AND METHODS FOR TARGETED DRUG DELIVERY**

(57) Abstract: The present invention provides for methods and compositions for transporting agents and macromolecules across biological membranes. In one embodiment, the invention relates to a method for enhancing transport of a selected agent across a biological membrane, wherein a biological membrane is contacted with a composition containing a biologically active rotaxane capable of selectively transporting the selected agent. The host-rotaxane is effective to impart to the agent an amount transport and/or rate of trans-membrane transport across a biological membrane that is greater than the amount and/or rate of trans-membrane transport of the agent without the host-rotaxane.

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